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USSR WORK ON INSECT REPELLENTS

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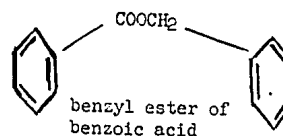
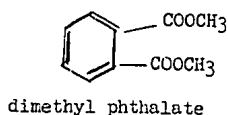
We have available at present exceptionally effective insecticides in the form of chemical preparations for the extermination of insect pests.(1) However, the problem of protecting human beings and animals from insects is not completely solved by insecticides. Insecticides do not protect the human skin from the bites of the insects, since only a rather prolonged stay of the insect on the poisoned surface leads to its death by the poison. Therefore, it is essential to prevent the lighting of the insect on the human or animal skin. For this purpose, the so-called repellents or chemical means of repelling are used.

Even in ancient times many means to repel insects were used, such as smoke, juices of certain aromatic plants, etc. Their repelling effect was explained earlier by the fact that these substances mask the odor of the human body which attracts the insects. The essential oils of plants are of primary importance for this purpose. However, owing to the high volatility of these oils, their effect is of an extremely short duration, and therefore they have not found an extensive application as insect repellents.

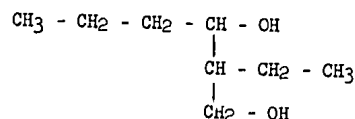
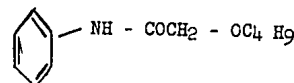
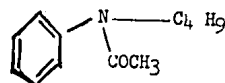
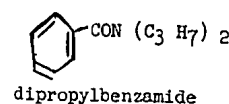
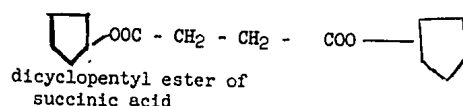
During the last few years, much work devoted to repellents has appeared in the literature. Investigations on the subject succeeded in establishing the fact that the repellent properties of these substances are not related to odor, but depend on other factors still not completely understood, since most repellents are completely devoid of odor.

The utilization of repellents is very important in the most diverse conditions, especially in localities with an abundance of insects. These agents greatly facilitate the work of various expeditions in regions with foci of infected insects, for example, the tundra, where ticks occur which transmit encephalitis. Even in the most ordinary conditions, the use of the insect-repellent preparations may considerably facilitate the work of the people and enable them to rest better, for example, in regions with a great deal of mosquitoes. For all these reasons it is necessary to develop highly active preparations that exert their action for a long time and are not toxic to humans and animals.

At present many such chemical compounds are known which belong, generally speaking, to three classes of organic substances: esters, alkyl-amides, and aliphatic glycols. The structural formulae of the most active repellents are shown below.

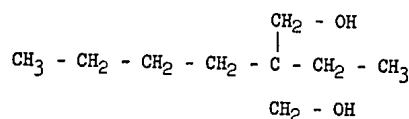


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2-ethylhexanediol-1,3

(1-propyl-2-ethylpropanediol-1,3)



2-ethyl-2-butylpropanediol-1,3

At present, alkylphthalates, specifically dialkyl phthalates, have the most extensive application as repellents. S. M. Gladkiy describes experience acquired in the extensive application of dimethyl phthalate and dibutyl phthalate for the protection of the inhabitants of one of the cities of Central Asia from sand flies.<sup>(2)</sup> Both substances were used in the pure state as well as in the form of 15% vaseline and glycerine emulsions; 25% alcohol solutions were also used. The skin of the hands, face, neck, and feet was rubbed with 3-5 ml. of the preparation. People who were treated with the preparations and controls who were not treated were put in the same room, where optimum conditions of light and warmth to attract sand flies were created. The time of the experiments (2200-0100 hours) corresponded to the greatest activity of sand flies. The people who were not protected by the preparation received many bites per minute. Investigations on the protective action of dimethyl phthalate and dibutyl phthalate against sand flies indicated that the pure substances and also their 15% emulsions in vaseline and glycerine oils fully protect human beings from these insects for a period of 4-6 hours. This period is sufficient to protect the human being from the bites of the sand flies during their greatest activity.

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Alcohol solutions of the protective substances have a considerably weaker effect. Investigations have demonstrated the harmlessness of the preparations in mass use.

Dimethyl phthalate will exert a similar effect, though probably a much stronger one, on mosquitoes as well. Thus, the author of the present article, during the summer of 1955, successfully used a 20% alcohol solution of dibutyl phthalate in the suburbs of Moscow. Spraying of the head, hands, and at bedtime also of the pillow with 1-2 ml of the solution adequately protected one from mosquito bites. The effect of the alcohol solution of dibutyl phthalate probably lasted for 2-3 hours. However, this was completely adequate for protection from mosquito bites during the period of their greatest activity.

Dibutyl phthalate mixed with the oil of *Chenopodium ambrosioides* also has a good effect after the ascaridol has been separated from the latter.<sup>(3)</sup>

Thus, it is possible to recommend the dialkyl phthalate preparations for extensive use in localities where there are mosquitoes and sand flies.

The action of dibutyl phthalate against other insects is weaker and is, in any event, of short duration. Therefore, work connected with the search for substances having the most extensive and most prolonged effect still goes on.

Substances of the amide class were also tested against mosquitoes and sand flies. (M. I. Brun and S. V. Zhuravlev).<sup>(4)</sup>

Dipropyl benzamide and dibutyl benzamide protect one from mosquito bites for more than 5 hours. However, both these substances are strongly irritating to the skin, and therefore are not used for the protection of humans. They cannot compete with dimethyl phthalate.

The results of research on the repellent activity of 44 chemical compounds, and also of mixtures of these compounds against *Amblyomma americanum* L ticks were cited.<sup>(5)</sup> The preparations were tested by means of saturation or spraying of fabrics with acetone solutions, aqueous emulsions, or undiluted compounds.

An emulsifier, the polyoxyethylene-sorbitol ester of oleic acid, which by itself is not active as a repellent, was used for the preparation of the emulsions.

The following compounds in acetone solutions showed the greatest repelling action: N-butyl acetanilide and N-propyl acetanilide. Besides these compounds, alpha-butoxy-N-cyclohexyl acetamide and the dicyclopentyl ester of succinic acid in the form of emulsions showed activity. Various mixtures prepared from N-butyl acetanilide, 2-butyl-2-ethylpropanediol-1,3, undecylenic acid, N-butylamide of cyclohexane dicarboxylic acid-1,2, the benzyl ester of benzoic acid, and gamma-hexachlorocyclohexane, showed good activity as well. Each of these solutions contained 10% of emulsifier.

All the above preparations repelled 98-100% of the insects for a period of 2 weeks, but the action of emulsified alpha-butoxy-N-cyclohexyl-acetamide and of the mixed preparations had an effect lasting for 6 weeks. These preparations are active not only against ticks but also against flies, mosquitoes,

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sand flies, and fleas. Besides these preparations, such preparations as N-amyl-acetanilide (USA Patent No 2 627 490, 3 Feb 53) and the butyl ester of N-benzyl-glycine (USA Patent No 2 653 895, 29 Sep 53) were proposed for protection against the *Aedes aegypti* mosquito.

This is a short review of contemporary repellents. The chemistry and the physiology of insect-repelling agents are still in the very beginning of their development. Nevertheless, as can be seen from this review, it is already possible to use these substances with success for the protection of human beings and animals from various insects.

## BIBLIOGRAPHY

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3. Japanese Patent No 5 897, 17 Nov 1953.
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